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(54) SUBSTITUTED TRIAZOLOAZINE SULPHONAMIDES

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(56) References Cited

U.S. PATENT DOCUMENTS

4,065,433	8/1986	Pearson et al 71/93
4,605,433	8/1986	Pearson et al 71/93
4,734,123	3/1988	Monte 71/92
4,740,233	4/1988	Kleschick et al 71/92
4,741,764	5/1988	Kleschick et al 71/92
4,755,212	7/1988	Kleschick et al 71/92
4,810,282	3/1989	Rorer 71/90
4,818,273	4/1989	Kleschick et al 71/90
4,854,964	8/1989	Jelich et al
4,886,883	12/1989	Kleschick et al 544/263
4,910,306	3/1990	McKendry 544/263
4,954,163	* 9/1990	Kleschik et al 71/92
4,954,165	9/1990	Baba et al 71/103
4,979,981	12/1990	Pearson et al 71/92
4,983,772	1/1991	Kleschick et al 564/442

5,013,351	5/1991	Jelich et al
5,015,286	5/1991	Pearson et al 71/92
5,071,468	12/1991	Astles et al 71/92
5,163,995	11/1992	Van Heertum et al 71/92
5,175,289	* 12/1992	Schneider et al 544/263
5,217,521	6/1993	Durr 504/241
5,559,081	9/1996	Gates et al 504/242
5,700,940	12/1997	Van Heertum et al 546/119

FOREIGN PATENT DOCUMENTS

3843849	7/1990	(DE) .
0 244 948	11/1987	(EP).
WO 93/16079	8/1993	(WO).
WO93/16079	8/1993	(WO).

OTHER PUBLICATIONS

Cron and Hanmond, "Organic Chemistry", McGraw Hill Book Co., NY (1964) 2nd Ed. pp. 565–567.*
Pestic Sci. (month available) 1990, 29, pp. 341–355, New

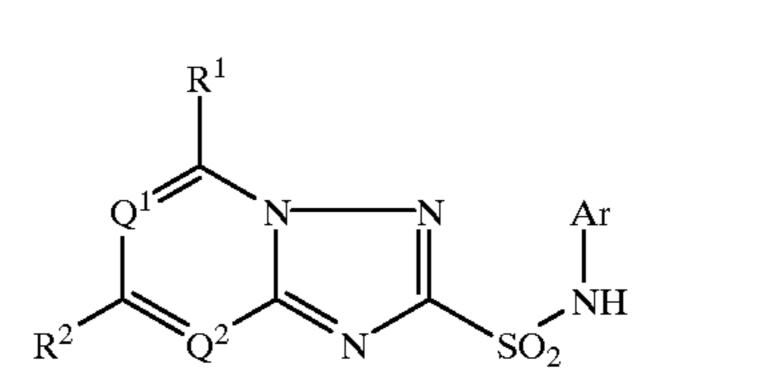
Pestic Sci. (month available) 1990, 29, pp. 341–355, New Herbicidal Derivatives of 1,2,4–Triazolo[1,5–α]pyrimidine, William A. Kleschick, Mark J. Costales, Joseph E. Dunbar, Richard W. Meikle, William T. Monte, Norman R. Pearson, Sigrid W. Snider & Anna P. Vinogradoff.

* cited by examiner

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(57) ABSTRACT

The invention concerns novel substituted triazoloazine sulphonamides of formula (I)



(I)

in which

 Q^1 stands for nitrogen or a CH group: Q^2 stands for nitrogen or a CH group; R^1 stands for hydrogen or halogen, or for C_1 – C_4 alkyl, C_1 – C_4 alkoxy, C_1 – C_4 alkylthio, C_1 – C_4 alkylamino or di(C_1 – C_4 alkyl)amino, in each case optionally substituted by hydroxy, halogen or C_1 – C_4 alkoxy; R^2 stands for hydrogen or halogen, or for C_1 – C_4 alkyl, C_1 – C_4 alkoxy, C_1 – C_4 alkylthio, C_1 – C_4 alkylamino or di(C_1 – C_4 alkyl) amino, in each case optionally substituted by halogen; and Ar stands for 4-cyano-2,5-difluoro-phenyl, 2,6-dimethoxy-phenyl, 2-bromo-3-trifluoro-methyl-phenyl, 2-bromo-5-trifluoromethyl-phenyl, 6-chloro-pyridine-3-yl-methyl or one of the 5- or 6-member (hetero)cyclic groups mentioned in the description. The invention also concerns salts of these substances, a process for preparing the novel compounds, and their use as plant-treatment agents.

5 Claims, No Drawings

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SUBSTITUTED TRIAZOLOAZINE SULPHONAMIDES

TECHNICAL FIELD OF THE INVENTION

The invention relates to novel substituted triazoloazinesulphonamides, to processes for their preparation and to their use as plant treatment agents.

BACKGROUND OF THE INVENTION

A large number of triazoloazinesulphonamides is already known from the (patent) literature (cf. EP 142152, EP 244847, EP 375076, U.S. Pat. No. 4,605,433, U.S. Pat. No. 5,163,995, WO 89/10368, Pestic. Sci. 29 (1990), 341–355).

DETAILED DESCRIPTION OF THE INVENTION

Novel substituted triazoloazinesulphonamides of the general formula (I)

in which

Q¹ represents nitrogen or a CH grouping,

Q² represents nitrogen or a CH grouping,

 R^1 represents hydrogen, halogen or represents C_1 – C_4 -alkyl, C_1 – C_4 -alkoxy, C_1 – C_4 -alkylthio, C_1 – C_4 -alkylamino or di- C_4 -alkyl)-amino, each of which is optionally substituted by hydroxyl, halogen or C_1 – C_4 -alkoxy,

 R^2 represents hydrogen, halogen or represents C_1 – C_4 -alkyl, C_1 – C_4 -alkoxy, C_1 – C_4 -alkylthio, C_1 – C_4 -alkylamino or di-(C_1 – C_4 -alkyl)-amino, each of which is optionally substituted by halogen, and

Ar represents 4-cyano-2,5-difluoro-phenyl, 2,6-dimethoxy-phenyl, 2-bromo-3-trifluoromethyl-phenyl, 2-bromo-5-trifluoromethyl-phenyl, 4-bromo-2,6-dimethyl-phenyl, 6-chloro-pyridin-3-yl-methyl or one of the 5- or 50 6-membered (hetero)cyclic groupings below:

$$(A^{2})_{\overline{n}}$$

$$(A^{2})_{\overline{n}}$$

$$(A^{6})_{\overline{m}}$$

$$(A^{8})_{\overline{m}}$$

$$(A^{$$

-continued

(A⁹)_n

(A¹²)_n

(A¹³)_n

(A²¹)_n

(A²¹)_n

(A²²)_n

(A²³)_n

(A³²)_n

(A³³)_n

(A³⁴)

(A³⁴)

(A³⁵)_n

(A³⁶)

(A³⁸)

in which

m in each case represents the numbers 1 or 2,

n in each case represents the numbers 0, 1 or 2,

A¹ represents optionally cyano-, halogen- or C_1 - C_4 -alkoxy-substituted C_1 - C_4 -alkyl or represents optionally cyano-, halogen- or C_1 - C_4 -alkyl-substituted C_3 - C_6 -cycloalkyl,

 A^2 represents C_1-C_4 -alkyl, C_1-C_4 -alkoxy, C_1-C_4 -alkylthio, C_1-C_4 -alkylsulphinyl or C_1-C_4 -alkylsulphonyl, each of which is optionally substituted by halogen,

 R^3 represents optionally cyano-, halogen- or C_1 – C_4 -alkyl,

 A^4 represents optionally cyano-, halogen- or C_1 – C_4 -alkoxy-substituted C_1 – C_4 -alkyl,

 A^5 represents optionally cyano-, halogen- or C_1 - C_4 -alkoxy-substituted C_2 - C_4 -alkyl, represents optionally halogen-substituted C_2 - C_4 -alkenyl or represents optionally cyano-, halogen- or C_1 - C_4 -alkyl-substituted C_3 - C_6 -cycloalkyl- C_1 - C_4 -alkyl,

A⁶ represents halogen or represents C_1 – C_4 -alkyl, C_1 – C_4 -alkoxy, C_2 – C_4 -alkenyl or C_2 – C_4 -alkenyloxy, each of which is optionally substituted by halogen,

 A^7 represents cyano-, halogen- or C_1 - C_4 -alkoxy-substituted C_1 - C_4 -alkyl,

A⁸ represents cyano, nitro or optionally halogensubstituted C₁-C₄-alkyl,

 A^9 represents cyano, halogen or optionally halogen-substituted C_1 – C_4 -alkyl,

 A^{10} represents optionally cyano-, halogen- or C_1 – C_4 - alkoxy-substituted C_1 – C_4 -alkyl or represents optionally cyano-, halogen- or C_1 – C_4 -alkyl-substituted C_3 – C_6 -cycloalkyl,

A¹¹ represents hydrogen or represents C_1 – C_4 -alkyl, C_1 – C_4 -alkyl-carbonyl, C_1 – C_4 -alkoxycarbonyl or C_1 – C_4 -alkylsulphonyl, each of which is optionally substituted by cyano, halogen or C_1 – C_4 -alkoxy,

A¹² represents hydrogen, cyano, halogen or optionally halogen-substituted C₁-C₄-alkyl,

 A^{13} represents cyano, carbamoyl, 5,6-dihydro-1,4,2-dioxazin-3-yl, halogen, C_1 – C_4 -alkyl, C_1 – C_4 -alkyl-carbonyl or C_1 – C_4 -alkoxy-carbonyl,

 A^{14} represents hydrogen, represents cyano, carbamoyl, halogen, C_1 – C_4 -alkyl, C_1 – C_4 -alkylcarbonyl or C_1 – C_4 - 20 alkoxy-carbonyl,

A¹⁵ represents hydrogen, represents cyano, carbamoyl, halogen, C₁–C₄-alkyl, C₁–C₄-alkylcarbonyl or C₁–C₄-alkoxy-carbonyl, or together with A¹⁴ represents a fused benzo grouping,

 A^{16} represents hydrogen, cyano, halogen or represents C_1 – C_4 -alkyl, C_1 – C_4 -alkoxy, C_1 – C_4 -alkylthio, C_1 – C_4 -alkylsulphinyl or C_1 – C_4 -alkylsulphonyl, each of which is optionally substituted by halogen,

A¹⁷ represents hydrogen, cyano, halogen or optionally halogen-substituted C₁–C₄-alkyl,

A¹⁸ represents hydrogen, cyano, halogen or optionally halogen-substituted C₁-C₄-alkyl,

A¹⁹ represents hydrogen or C₁-C₄-alkyl,

 A^{20} represents C_1 – C_4 -alkyl or C_2 – C_4 -alkenyl,

A²¹ represents cyano, halogen, C₁–C₄-alkyl or C₁–C₄-halogenoalkyl,

 A^{22} represents C_1 – C_4 -alkyl or C_1 – C_4 -halogenoalkyl,

 A^{23} represents C_1 – C_4 -alkyl,

 A^{24} on its own represents C_1 – C_4 -alkyl or together with A^{23} represents C_2 – C_4 -alkanediyl,

 A^{25} represents cyano, halogen, C_1 – C_4 -alkyl or C_1 – C_4 -halogenoalkyl,

 A^{26} represents C_1-C_4 -alkyl,

 A^{27} represents cyano, halogen, C_1 – C_4 -alkyl, C_1 – C_4 -halogenoalkyl, C_1 – C_4 -alkoxy or C_1 – C_4 -halogenoalkoxy,

 A^{28} represents hydrogen, difluoromethyl, C_1 – C_4 -alkyl- 50 carbonyl, C_1 – C_4 -alkoxy-carbonyl or C_1 – C_4 -alkylsulphonyl,

A²⁹ represents cyano, halogen, C₁–C₄-alkyl or C₁–C₄-halogenoalkyl,

 A^{30} represents hydrogen, C_1 – C_4 -alkyl or C_1 – C_4 -alkoxy, A^{31} represents hydrogen or C_1 – C_4 -alkyl,

A³² represents cyano, halogen, C₁–C₄-alkyl or C₁–C₄-halogenoalkyl,

 A^{33} represents hydrogen, C_1 – C_4 -alkyl or C_1 – C_4 -alkoxy, C_4 -alkyl, C_4 -alkyl,

A³⁵ represents cyano, halogen, C₁–C₄-alkyl or C₁–C₄-halogenoalkyl,

A³⁶ represents hydrogen, C₁–C₄-alkyl, C₃–C₆-cycloalkyl or phenyl,

A³⁷ represents hydrogen, C₁–C₄-alkyl, C₃–C₆-cycloalkyl or phenyl,

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 A^{38} represents hydrogen, halogen, represents C_1 – C_4 -alkyl, C_1 – C_4 -alkoxy or C_1 – C_4 -alkylthio, each of which is optionally substituted by cyano, halogen or C_1 – C_4 -alkoxy, or represents C_3 – C_6 -cycloalkyl, C_3 – C_6 -cycloalkyloxy or C_3 – C_6 -cycloalkyl- C_1 – C_4 -alkyl, each of which is optionally substituted by cyano, halogen or C_1 – C_4 -alkyl, and

 A^{39} represents hydrogen, represents C_1 – C_4 -alkyl or C_1 – C_4 -alkoxy, each of which is optionally substituted by cyano, halogen or C_1 – C_4 -alkoxy, or represents C_3 – C_6 -cycloalkyl, C_3 – C_6 -cycloalkyloxy or C_3 – C_6 -cycloalkyl- C_1 – C_4 -alkyl, each of which is optionally substituted by cyano, halogen or C_1 – C_4 -alkyl,

and also salts of the compounds of the formula (I) have now been found.

The novel substituted triazoloazine sulphonamides of the general formula (I) are obtained when substituted triazoloazine sulphonyl chlorides of the general formula (II)

 \mathbb{R}^{1} \mathbb{Q}^{1} \mathbb{N} \mathbb{N}

in which

Q¹, Q², R¹ and R² are each as defined above are reacted with amino(hetero)arenes of the general formula (III)

$$H_2N$$
— Ar (III)

in which

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Ar is as defined above,

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent and, if appropriate, further transformation reactions within the framework of the above definition of substituents are carried out by customary methods on the resulting compounds of the formula (I).

The novel substituted triazoloazine sulphonamides of the general formula (I) have interesting biological properties, allowing their use as plant treatment agents. They have strong herbicidal, fungicidal and insecticidal activity and in particular have excellent and selective herbicidal action.

The invention preferably provides compounds of the formula (I) in which

Q¹ represents nitrogen or a CH grouping,

Q² represents nitrogen or a CH grouping,

R¹ represents hydrogen, fluorine, chlorine, bromine or represents methyl, ethyl, n- or i-propyl, methoxy, ethoxy, nor i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylamino, ethylamino, n- or i-propylamino, dimethylamino or diethylamino, each of which is optionally substituted by fluorine, chlorine, methoxy or ethoxy,

R² represents hydrogen, fluorine, chlorine, bromine or represents methyl, ethyl, n- or i-propyl, methoxy, ethoxy, nor i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylamino, ethylamino, n- or i-propylamino, dimethylamino or diethylamino, each of which is optionally substituted by fluorine, chlorine, methoxy or ethoxy,

Ar represents 4-cyano-2,5-difluoro-phenyl, 2,6-dimethoxy-phenyl, 2-bromo-3-trifluoromethyl-phenyl, 2-bromo-5-trifluoromethyl-phenyl, 4-bromo-2,6-dimethyl-phenyl, 6-chloro-pyridin-3-yl-methyl or one of the groupings

below:

$$(A^{2})_{\overline{n}} \qquad (A^{8})_{\overline{m}} \qquad (A^{8})_{\overline{m}} \qquad (A^{8})_{\overline{m}} \qquad (A^{8})_{\overline{m}} \qquad (A^{15})_{\overline{n}} \qquad (A^{15})_{\overline{n}} \qquad (A^{25})_{\overline{n}} \qquad (A^{25})_{\overline{n}}$$

in which

m in each case represents the numbers 1 or 2,

n in each case represents the numbers 0, 1 or 2,

A¹ represents methyl, ethyl, n- or i-propyl, each of which is optionally substituted by cyano, fluorine, chlorine, bromine, methoxy or ethoxy, or represents cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl, each of which is optionally substituted by cyano, fluorine, chlorine, bromine, methyl or ethyl,

A² represents methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or

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i-propylthio, methylsulphinyl, ethylsulphinyl, n-or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, each of which is optionally substituted by fluorine, chlorine or bromine,

A³ represents methyl, ethyl, n- or i-propyl, each of which is optionally substituted by cyano, fluorine, chlorine, bromine, methoxy or ethoxy,

A⁴ represents methyl, ethyl, n- or i-propyl, each of which is optionally substituted by cyano, fluorine, chlorine, bromine, methoxy or ethoxy,

A⁵ represents ethyl, n- or i-propyl, n-, i-, s- or t-butyl, each of which is optionally substituted by cyano, fluorine, chlorine, bromine, methoxy or ethoxy, represents propenyl or butenyl, each of which is optionally substituted by halogen, or cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl or cyclohexylmethyl, each of which is optionally substituted by cyano, fluorine, chlorine, bromine, methyl or ethyl,

A⁶ represents fluorine, chlorine, bromine or represents methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy, propenyl, butenyl, propenyloxy or butenyloxy, each of which is optionally substituted by fluorine or chlorine,

A⁷ represents methyl, ethyl, n- or i-propyl, each of which is optionally substituted by cyano, fluorine, chlorine, bromine, methoxy or ethoxy,

A⁸ represents cyano, nitro or represents methyl, ethyl, nor i-propyl, each of which is optionally substituted by fluorine or chlorine,

A⁹ represents cyano, fluorine, chlorine or represents methyl, ethyl, n- or i-propyl, each of which is optionally substituted by fluorine or chlorine,

A¹⁰ represents hydrogen, represents methyl, ethyl, n- or i-propyl, each of which is optionally substituted by cyano, fluorine, chlorine, methoxy or ethoxy, or represents cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl, each of which is optionally substituted by cyano, fluorine, chlorine, methyl or ethyl,

A¹¹ represents hydrogen or represents methyl, ethyl, n- or i-propyl, acetyl, propionyl, n- or i-butyroyl, methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, each of which is optionally substituted by cyano, fluorine, chlorine, methoxy or ethoxy,

A¹² represents hydrogen, cyano, fluorine, chlorine, bromine or represents methyl, ethyl, n- or i-propyl, each of which is optionally substituted by fluorine or chlorine,

A¹³ represents cyano, carbamoyl, 5,6-dihydro-1,4,2-dioxazin-3-yl, fluorine, chlorine, bromine, methyl, ethyl, n- or i-propyl, acetyl, propionyl, n- or i-butyroyl, methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl,

A¹⁴ represents hydrogen, represents cyano, carbamoyl, fluorine, chlorine, bromine, methyl, ethyl, n- or i-propyl, acetyl, propionyl, n- or i-butyroyl, methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl,

A¹⁵ represents hydrogen, represents cyano, carbamoyl, fluorine, chlorine, bromine, methyl, ethyl, n- or i-propyl, acetyl, propionyl, n- or i-butyroyl, methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl, or together with A¹⁴ represents a fused benzo grouping,

A¹⁶ represents hydrogen, cyano, fluorine, chlorine, bromine or represents methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, 5 n- or i-propylsulphonyl, each of which is optionally substituted by fluorine or chlorine,

A¹⁷ represents hydrogen, cyano, fluorine, chlorine, bromine or represents methyl, ethyl, n- or i-propyl, each of which is optionally substituted by fluorine or chlorine, ¹⁰

A¹⁸ represents hydrogen, cyano, fluorine, chlorine, bromine or represents methyl, ethyl, n- or i-propyl, each of which is optionally substituted by fluorine or chlorine,

A¹⁹ represents hydrogen, methyl, ethyl, n- or i-propyl,

A²⁰ represents methyl, ethyl, n- or i-propyl or represents propenyl or butenyl,

A²¹ represents cyano, fluorine, chlorine, bromine, methyl, ethyl, n- or i-propyl, or represents trifluoromethyl,

A²² represents methyl, ethyl, n- or i-propyl,

A²³ represents methyl, ethyl, n- or i-propyl,

A²⁴ on its own represents methyl, ethyl, n- or i-propyl or together with A²³ represents ethane-1,2-diyl (dimethylene) or propane-1,3-diyl (trimethylene),

A²⁵ represents cyano, fluorine, chlorine, bromine, methyl, ethyl, n- or i-propyl, or represents trifluoromethyl,

A²⁶ represents methyl, ethyl, n- or i-propyl,

A²⁷ represents cyano, fluorine, chlorine, bromine, methyl, ethyl, n- or i-propyl, or represents trifluoromethyl,

A²⁸ represents hydrogen, acetyl, propionyl, n- or i-butyroyl, methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl,

A²⁹ represents cyano, fluorine, chlorine, bromine, methyl, ethyl, n- or i-propyl, or represents trifluoromethyl,

A³⁰ represents hydrogen, methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy,

A³¹ represents hydrogen or methyl, ethyl, n- or i-propyl, 40 A³² represents cyano, fluorine, chlorine, bromine, methyl, ethyl, n- or i-propyl, or represents trifluoromethyl,

A³³ represents hydrogen, methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy,

A³⁴ represents hydrogen, methyl, ethyl, n- or i-propyl,

A³⁵ represents cyano, fluorine, chlorine, bromine, methyl, ethyl, n- or i-propyl, or represents trifluoromethyl,

A³⁶ represents hydrogen, methyl, ethyl, n- or i-propyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl or phenyl,

A³⁷ represents hydrogen, methyl, ethyl, n- or i-propyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl or phenyl,

A³⁸ represents hydrogen, fluorine, chlorine, bromine, 55 represents methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n-or i-propylthio, each of which is optionally substituted by cyano, fluorine, chlorine, methoxy or ethoxy, or represents cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, 60 cyclopropyloxy, cyclobutyloxy, cyclopentyloxy, cyclopentyloxy, cyclopentylmethyl, cyclobutylmethyl, cyclobutylmethyl, cyclopentylmethyl or cyclohexylmethyl, each of which is optionally substituted by cyano, fluorine, chlorine, methyl or ethyl, and

A³⁹ represents hydrogen, represents methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy, each of

which is optionally substituted by cyano, fluorine, chlorine, methoxy or ethoxy, or represents cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropyloxy, cyclobutyloxy, cyclopentyloxy, cyclohexyloxy, cyclopentyloxy, cyclopentylmethyl, cyclobutylmethyl, cyclopentylmethyl or cyclohexylmethyl, each of which is optionally substituted by cyano, fluorine, chlorine, methyl or ethyl.

The invention also preferably provides lithium, sodium, potassium, magnesium, calcium, ammonium, C_1 – C_4 -alkylammonium, di- $(C_1$ – C_4 -alkyl)-ammonium, tri- $(C_1$ – C_4 -alkyl)-ammonium, tri- $(C_1$ – C_4 -alkyl)-sulphonium, C_5 - or C_6 -cycloalkyl-ammonium and di- $(C_1$ – C_2 -alkyl)-benzyl-ammonium salts of compounds of the formula (I).

The above-mentioned general or preferred radical definitions apply both to the end products of the formula (I) and also, correspondingly, to the starting materials or intermediates required in each case for the preparation. These radical definitions can be combined with each other as desired, i.e. including combinations between the given ranges.

Using, for example, 5,7-dimethyl-1,2,4-triazolo[1,5-a] pyrimidine-2-sulphonyl chloride and 2-amino-phenol as starting materials, the course of the reaction in the process according to the invention can be illustrated by the following equation:

$$CH_3$$
 H_3C
 N
 N
 SO_2
 CI
 $-HCI$
 CH_3
 CH_3
 CH_3
 CH_3
 N
 N
 SO_2

The formula (II) provides a general definition of the triazoloazinesulphonyl chlorides to be used as starting materials in the process according to the invention for preparing compounds of the formula (I). In the formula (II), Q¹, Q², R¹ and R² each preferably have those meanings which have already been indicated above, in connection with the description of the compounds of the formula (I) according to the invention, as being preferred for Q¹, Q², R¹ and R².

The starting materials of the formula (II) are known and/or can be prepared by processes known per se (cf. EP 142152, EP 244847, EP 375076, U.S. Pat. No. 4,605,433, U.S. Pat. No. 5,163,995, WO 89/10368).

The formula (III) provides a general definition of the amino(hetero)arenes further to be used as starting materials in the process according to the invention. In the formula (III), Ar preferably has that meaning which has already been indicated above, in connection with the description of the compounds of the formula (I) according to the invention, as being preferred for Ar.

The starting materials of the formula (III) are known and/or can be prepared by processes known per se (cf. EP 142152, EP 244847, EP 375076, U.S. Pat. No. 4,605,433, U.S. Pat. No. 5,163,995, WO 89/10368).

Suitable reaction auxiliaries for the process according to 5 the invention are generally the customary inorganic or organic bases or acid acceptors. These preferably include alkali metal or alkaline earth metal acetates, amides, carbonates, bicarbonates, hydrides, hydroxides or alkoxides, such as, for example, sodium acetate, potassium acetate or 10 calcium acetate, lithium amide, sodium amide, potassium amide or calcium amide, sodium carbonate, potassium carbonate or calcium carbonate, sodium bicarbonate, potassium bicarbonate or calcium bicarbonate, lithium hydride, sodium hydride, potassium hydride or calcium hydride, lithium 15 hydroxide, sodium hydroxide, potassium hydroxide or calcium hydroxide, sodium methoxide or potassium methoxide, sodium ethoxide or potassium ethoxide, sodium n- or i-propoxide or potassium n- or i-propoxide, sodium n-, i-, s- or t-butoxide or potassium n-, i-, s- or t-butoxide; 20 furthermore also basic organic nitrogen compounds, such as, for example, trimethylamine, triethylamine, tripropylamine, tributylamine, ethyldiisopropylamine, N,N-dimethylcyclohexylamine, dicyclohexylamine, ethyldicyclohexylamine, N,N-dimethyl-aniline, N,N-dimethyl- 25 benzylamine, pyridine, 2-methyl-, 3-methyl-, 4methyl-, 2,4dimethyl-, 2,6-dimethyl-, 3,4-dimethyl- and 3,5-dimethylpyridine, 5-ethyl-2-methyl-pyridine, 4-dimethylaminopyridine, N-methylpiperidine, 1,4-diazobicyclo[2.2.2]octane (DABCO), 1,5-diazabicyclo[4.3.0]-non-5-ene 30 (DBN), or 1,8-diazabicyclo[5.4.0]-undec-7-ene (DBU).

Suitable diluents for carrying out the process according to the invention are in particular inert organic solvents. These preferably include aliphatic, alicyclic or aromatic, optionally halogenated hydrocarbons, such as, for example, benzine, 35 benzene, toluene, xylene, chlorobenzene, dichlorobenzene, petroleum ether, hexane, cyclohexane, dichloromethane, chloroform, carbon tetrachloride; ethers, such as diethyl ether, diisopropyl ether, dioxane, tetrahydrofuran or ethylene glycol dimethyl ether or ethylene glycol diethyl ether; 40 ketones, such as acetone, butanone or methyl isobutyl ketone; nitriles, such as acetonitrile, propionitrile or butyronitrile; amides, such as N,N-dimethylformamide, N,Ndimethylacetamide, N-methyl-formanilide, N-methylpyrrolidone or hexamethylphosphoric triamide; esters such 45 as methyl acetate or ethyl acetate; sulphoxides, such as dimethyl sulphoxide; alcohols, such as methanol, ethanol, nor i-propanol, ethylene glycol monomethyl ether, ethylene glycol monoethyl-ether, diethylene glycol monomethyl ether, diethylene glycol monoethyl ether, mixtures thereof 50 with water or pure water.

When carrying out the process according to the invention, the reaction temperatures can be varied within a relatively wide range. In general, the reaction is carried out at temperatures between 0° C. and 100° C., preferably between 10° 55 C. and 60° C.

The process according to the invention is generally carried out under atmospheric pressure. However, it is also possible to carry out the process according to the invention under elevated or reduced pressure—in general between 0.1 60 bar and 10 bar.

For carrying out the process according to the invention, the starting materials are generally employed in approximately equimolar amounts. However, it is also possible to employ one of the components in a relatively large excess. 65 The reaction is generally carried out in a suitable diluent in the presence of a reaction auxiliary, and the reaction mixture

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is generally stirred at the required temperature for several hours. Work-up is carried out by customary methods (cf. the Preparation Examples).

The active compounds of the formula (I) according to the invention can be used as defoliants, desiccants, haulm killers and, especially, as weed-killers. By weeds, in the broadest sense, there are to be understood all plants which grow in locations where they are not wanted. Whether the substances according to the invention act as total or selective herbicides depends essentially on the amount used.

The active compounds according to the invention can be used, for example, in connection with the following plants:

Dicotyledonous weeds of the genera: Sinapis, Lepidium, Galium, Stellaria, Matricaria, Anthemis, Galinsoga, Chenopodium, Urtica, Senecio, Amaranthus, Portulaca, Xanthium, Convolvulus, Ipomoea, Polygonum, Sesbania, Ambrosia, Cirsium, Carduus, Sonchus, Solanum, Rorippa, Rotala, Lindernia, Lamium, Veronica, Abutilon, Emex, Datura, Viola, Galeopsis, Papaver, Centaurea, Trifolium, Ranunculus and Taraxacum.

Dicotyledonous crops of the genera: Gossypium, Glycine, Beta, Daucus, Phaseolus, Pisum, Solanum, Linum, Ipomoea, Vicia, Nicotiana, Lycopersicon, Arachis, Brassica, Lactuca, Cucumis and Cucurbita.

Monocotyledonous weeds of the genera: Echinochloa, Setaria, Panicum, Digitaria, Phleum, Poa, Festuca, Eleusine, Brachiaria, Lolium, Bromus, Avena, Cyperus, Sorghum, Agropyron, Cynodon, Monochoria, Fimbristylis, Sagittaria, Eleocharis, Scirpus, Paspalum, Ischaemum, Sphenoclea, Dactyloctenium, Agrostis, Alopecurus and Apera.

Monocotyledonous crops of the genera: Oryza, Zea, Triticum, Hordeum, Avena, Secale, Sorghum, Panicum, Saccharum, Ananas, Asparagus and Allium.

However, the use of the active compounds according to the invention is in no way restricted to these genera, but also extends in the same manner to other plants.

The compounds are suitable, depending on the concentration, for the total control of weeds, for example on industrial terrain and rail tracks, and on paths and squares with or without tree plantings. Equally, the compounds can be employed for controlling weeds in perennial crops, for example afforestations, decorative tree plantings, orchards, vineyards, citrus groves, nut orchards, banana plantations, coffee plantations, tea plantations, rubber plantations, oil palm plantations, cocoa plantations, soft fruit plantings and hopfields, in lawns, turf and pasture-land and for the selective control of weeds in annual crops.

The compounds of the formula (I) according to the invention are particularly suitable for the selective control of monocotyledonous and dicotyledonous weeds in monocotyledonous and dicotyledonous crops, both pre-emergence and post-emergence.

The active compounds of the formula (I) according to the invention to a certain extent also have strong microbicidal action and can be practically employed for controlling undesirable microorganisms. The active compounds are consequently also suitable for use as fungicides and bactericides.

Fungicides in plant protection are employed for controlling Plasmodiophoromycetes, Oomycetes, Chytridiomycetes, Zygomycetes, Ascomycetes, Basidiomycetes and Deuteromycetes.

Bactericides in plant protection are employed for controlling Pseudomonadaceae, Rhizobiaceae, Enterobacteriaceae, Corynebacteriaceae and Streptomycetaceae.

Some causative organisms of fungal and bacterial diseases which come under the generic names listed above may be mentioned as examples, but not by way of limitation:

Xanthomonas species, such as Xanthomonas campestris pv. oryzae; Pseudomonas species, such as Pseudomonas syringae pv. lachrymans; Erwinia species, such as Erwinia amylovora; Pythium species, such as Pythium ultimum; Phytophthora species, such as Phytophthora infestans; 5 Pseudoperonospora species, such as Pseudoperonospora humuli or Pseudoperonospora cubensis; Plasmopara species, such as *Plasmopara viticola*; Bremia species, such as Bremia lactucae; Peronospora species, such as Peronospora pisi or P. brassicae; Erysiphe species, such as Erysiphe graminis; Sphaerotheca species, such as Sphaerotheca 10 fuliginea; Podosphaera species, such as Podosphaera leucotricha; Venturia species, such as Venturia inaequalis; Pyrenophora species, such as *Pyrenophora teres* or *P*. graminea (conidia form: Drechslera, syn: Helminthosporium); Cochliobolus species, such as 15 Cochliobolus sativus (conidia form: Drechslera, syn: Helminthosporium); Uromyces species, such as *Uromyces* appendiculatus; Puccinia species, such as Puccinia recondita; Scierotinia species, such as Sclerotinia sclerotiorum; Tilletia species, such as Tilletia caries; Ustilago species, 20 such as Ustilago nuda or Ustilago avenae; Pellicularia species, such as *Pellicularia sasakii*;

Pyricularia species, such as *Pyricularia oryzae*; Fusarium species, such as *Fusarium culmorum*; Botrytis species, such as *Botrytis cinerea*; Septoria species, such as *Septoria nodorum*; Leptosphaeria species, such as *Leptosphaeria* ²⁵ *nodorum*; Cercospora species, such as *Cercospora canescens*; Altemaria species, such as *Alternaria brassicae*; Pseudocercosporella species, such as *Pseudocercosporella herpotrichoides*.

The good toleration, by plants, of some of the active 30 compounds, at the concentrations required for controlling plant diseases, permits treatment of aerial parts of plants, of vegetative propagation stock and seeds, and of the soil.

The active compounds according to the invention can be employed here very successfully for controlling diseases in fruit and vegetable growing, such as, for example, against Podosphaera and Sphaerotheca species, and also for controlling rice diseases, such as, for example, Pyricularia oryzae.

The active compounds of the formula (I) according to the invention are to a certain extent also suitable for controlling animal pests, preferably arthropods and nematodes, in particular insects and arachnids which are encountered in agriculture, in forests, in the protection of stored products and of materials, and in the hygiene sector. They are active against normally sensitive and resistant species and against 45 all or some stages of development. The above-mentioned pests include:

From the order of Isopoda, for example, *Oniscus asellus*, Armadillidium vulgare and Porcellio scaber; from the order of the Diplopoda, for example, Blaniulus guttulatus; from 50 the order of the Chilopoda, for example, Geophilus carpophagus and Scutigera spec; from the order of the Symphyla, for example, Scutigerella immaculata; from the order of the Thysanura, for example, Lepisma saccharina; from the order of the Collembola, for example, Onychiurus 55 armatus; from the order of the Orthoptera, for example, Blatta orientalis, Periplaneta americana, Leucophaea maderae, Blatella germanica, Acheta domesticus, Gryllotalpa spp., Locusta migratoria migratorioides, Melanoplus differentialis and Schistocerca gregaria; from the order of the Dermaptera, for example, Forficula auricularia; from 60 the order of the Isoptera, for example, Reticulitermes spp.; from the order of the Anoplura, for example, *Pediculus* humanus corporis, Haematopinus spp. and Linognathus spp; from the order of the Mallophaga, for example, Trichodectes spp. and Damalinea spp; from the order of the Thysanoptera, 65 for example, Hercinothrips femoralis and Thrips tabaci; from the order of the Heteroptera, for example, Eurygaster

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spp., Dysdercus intermedius, Piesma quadrata, Cimex lectularius, Rhodnius prolixus and Triatoma spp.; from the order of the Homoptera, for example, Aleurodes brassicae, Bemisia tabaci, Trialeurodes vaporariorum, Aphis gossypii, Brevicoryne brassicae, Cryptomyzus ribis, Aphis fabae, Aphis pomi, Eriosoma lanigerum, Hyalopterus arundinis, Macrosiphum avenae, Myzus spp., Pemphigus spp., Phorodon humuli, Phylloxera vastatrix, Rhopalosiphum padi, Empoasca spp., Euscelis bilobatus, Nephotettix cincticeps, Lecanium corni, Saissetia oleae, Laodelphax striatellus, Nilaparvata lugens, Aonidiella aurantii, Aspidiotus hederae, Pseudococcus spp. and Psylla spp.; from the order of the Lepidoptera, for example, Pectinophora gossypiella, Bupalus piniarius, Cheimatobia brumata, Lithocolletis blancardella, Hyponomeuta padella, Plutella maculipennis, Malacosoma neustria, Euproctis chrysorrhoea, Lymantria spp., Bucculatrix thurberiella, Phyllocnistis citrella, Agrotis spp., Euxoa spp., Feltia spp., Earias insulana, Heliothis spp., Spodoptera exigua, Mamestra brassicae, Panolis flammea, Prodenia litura, Spodoptera spp., Trichoplusia ni, Carpocapsa pomonella, Pieris spp., Chilo spp., Pyrausta nubilalis, Ephestia kuehniella, Galleria mellonella, Tineola bisselliella, Tinea pellionella, Hofmannophila pseudospretella, Cacoecia podana, Capua reticulana, Choristoneura fumiferana, Clysia ambiguella, Homona magnanima and Tortrix viridana; from the order of the Coleoptera, for example, Anobium punctatum, Rhizopertha dominica, Acanthoscelides obtectus, Bruchidius obtectus, Hylotrupes bajulus, Agelastica alni, Leptinotarsa decemlineata, Phaedon cochleariae, Diabrotica spp., Psylliodes chrysocephala, Epilachna varivestis, Atomaria spp., Oryzaephilus surinamensis, Anthonomus spp., Sitophilus spp., Otiorrhynchus sulcatus, Cosmopolites sordidus, Ceuthorrhynchus assimilis, Hypera postica, Dermestes spp., Trogoderma spp., Anthrenus spp., Attagenus spp., Lyctus spp., Meligethes aeneus, Ptinus spp., Niptus hololeucus, Gibbium psylloides, Tribolium spp., Tenebrio molitor, Agriotes spp., Conoderus spp., Melolontha melolontha, Amphimallon solstitialis and Costelytra zealandica; from the order of the Hymenoptera, for example, Diprion spp., Hoplocampa spp., Lasius spp., Monomorium pharaonis and Vespa spp.; from the order of the Diptera, for example, Aedes spp., Anopheles spp., Culex spp., Drosophila melanogaster, Musca spp., Fannia spp., Calliphora erythrocephala, Lucilia spp., Chrysomyia spp., Cuterebra spp., Gastrophilus spp., Hyppobosca spp., Stomoxys spp., Oestrus spp., Hypoderma spp., Tabanus spp., Tannia spp., Bibio hortulanus, Oscinella frit, Phorbia spp., Pegomyia hyoscyami, Ceratitis capitata, Dacus oleae and Tipula paludosa; from the order of the Siphonaptera, for example, *Xenopsylla cheopis* and Ceratophyllus spp; from the order of the Arachnida, for example, Scorpio maurus and Latrodectus mactans; from the order of the Acarina, for example, *Acarus siro*, Argas spp., Ornithodoros spp., Dermanyssus gallinae, Eriophyes ribis, Phyllocoptruta oleivora, Boophilus spp., Rhipicephalus spp., Amblyomma spp., Hyalomma spp., Ixodes spp., Psoroptes spp., Chorioptes spp., Sarcoptes spp., Tarsonemus spp., Bryobia praetiosa, Panonychus spp. and Tetranychus spp. The phytoparasitic nematodes include, for example, Pratylenchus spp., Radopholus spp., Ditylenchus spp., Tylenchulus spp., Heterodera spp., Globodera spp., Meloidogyne spp., Aphelenchoides spp., Longidorus spp., Xiphinema spp., Trichodorus spp., Tylenchus spp., Helicotylenchus spp., Rotylenchus spp., Tylenchulus spp.

The active compounds according to the invention can be employed here very successfully, for example, against butterfly larvae, such as, for example, *Plutella maculipennis*.

The active compounds can be converted into the customary formulations, such as solutions, emulsions, wettable powders, suspensions, powders, dusting agents, pastes, soluble powders, granules, suspo-emulsion concentrates,

natural and synthetic materials impregnated with active compound, and very fine capsules in polymeric substances.

These formulations are produced in a known manner, for example by mixing the active compounds with extenders, that is liquid solvents and/or solid carriers, optionally with the use of surfactants, that is emulsifiers and/or dispersing agents and/or foam-forming agents.

If the extender used is water, it is also possible to employ, for example, organic solvents as auxiliary solvents. Suitable liquid solvents are essentially: aromatics, such as xylene, toluene or alkylnaphthalenes, chlorinated aromatics and 10 chlorinated aliphatic hydrocarbons, such as chlorobenzenes, chloroethylenes or methylene chloride, aliphatic hydrocarbons, such as cyclohexane or paraffins, for example petroleum fractions, mineral and vegetable oils, alcohols, such as butanol or glycol as well as their ethers and esters, ketones, such as acetone, methyl ethyl ketone, methyl isobutyl ketone or cyclohexanone, strongly polar solvents, such as dimethylformamide and dimethyl sulphoxide, and also water.

Suitable solid carriers are: for example ammonium salts and ground natural minerals, such as kaolins, clays, talc, chalk, quartz, attapulgite, montmorillonite or diatomaceous earth, and ground synthetic minerals, such as highly disperse silica, alumina and silicates, as solid carriers for granules there are suitable: for example crushed and fractionated natural rocks such as calcite, marble, pumice, sepiolite and dolomite, as well as synthetic granules of inorganic and organic meals, and granules of organic material such as sawdust, coconut shells, maize cobs and tobacco stalks; as emulsifying and/or foam-forming agents there are suitable: for example nonionic and anionic emulsifiers, such as polyoxyethylene fatty acid esters, polyoxyethylene fatty alcohol ethers, for example alkylaryl polyglycol ethers, alkylsulphonates, alkyl sulphates, arylsulphonates as well as protein hydrolysates; as dispersing agents there are suitable: for example lignin-sulphite waste liquors and methylcellulose.

Tackifiers such as carboxymethylcellulose and natural and synthetic polymers in the form of powders, granules or latices, such as gum arabic, polyvinyl alcohol and polyvinyl acetate, as well as natural phospholipids, such as cephalins and lecithins, and synthetic phospholipids, can be used in the formulations. Further additives can be mineral and vegetable oils.

It is possible to use colorants such as inorganic pigments, for example iron oxide, titanium oxide and Prussian Blue, and organic dyestuffs, such as alizarin dyestuffs, azo dye-45 stuffs and metal phthalocyanine dyestuffs, and trace nutrients such as salts of iron, manganese, boron, copper, cobalt, molybdenum and zinc.

The formulations in general contain between 0.1 and 95 per cent by weight of active compound, preferably between 50 0.5 and 90%.

The active compounds according to the invention can be used as such or, in their formulations, also as a mixture with known herbicides for the control of weeds, in which case ready-to-use formulations or tank mixes are possible.

Suitable co-components for the mixtures are known herbicides, for example anilides such as, for example, diflufenican and propanil; arylcarboxylic acids such as, for example, dichloropicolinic acid, dicamba and picloram; aryloxyalkanoic acids such as, for example, 2,4-D, 2,4-DB, 2,4-DP, fluroxypyr, MCPA, MCPP and triclopyr; aryloxyphenoxy-alkanoic esters such as, for example, diclofopmethyl, fenoxaprop-ethyl, fluazifop-butyl, haloxyfopmethyl and quizalofop-ethyl; azinones such as, for example, chloridazon and norflurazon; carbamates such as, for example, chloropopham, desmedipham, phenmedipham and propham; chloroacetanilides such as, for example, alachlor, acetochlor, butachlor, metazachlor, metolachlor, pretilachlor

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and propachlor; dinitroanilines such as, for example, oryzalin, pendimethalin and trifluralin; diphenyl ethers such as, for example, acifluorfen, bifenox, fluoroglycofen, fomesafen, halosafen, lactofen and oxyfluorfen; ureas such as, for example, chlortoluron, diuron, fluometuron, isoproturon, linuron and methabenzthiazuron; hydroxylamines such as, for example, alloxydim, clethodim, cycloxydim, sethoxydim and tralkoxydim; imidazolinones such as, for example, imazethapyr, imazamethabenz, imazapyr and imazaquin; nitriles such as, for example, bromoxynil, dichlobenil and ioxynil; oxyacetamides such as, for example, mefenacet; sulphonylureas such as, for example, amidosulfuron, bensulfuron-methyl, chlorimuronethyl, chlorsulfuron, cinosulfuron, metsulfuron-methyl, nicosulfuron, primisulfuron, pyrazosulfuron-ethyl, thifensulfuron-methyl, triasulfuron and tribenuron-methyl; thiolcarbamates such as, for example, butylates, cycloates, di-allates, EPTC, esprocarb, molinates, prosulfocarb, thiobencarb and triallates; triazines such as, for example, atrazine, cyanazine, simazine, simetryne, terbutryne and terbutylazine; triazinones such as, for example, hexazinone, metamitron and metribuzin; others such as, for example, aminotriazole, benfuresate, bentazone, cinmethylin, clomazone, clopyralid, difenzoquat, dithiopyr, ethofumesate, fluorochloridone, glufosinate, glyphosate, isoxaben, pyridate, quinchlorac, quinmerac, sulphosate and tridiphane.

A mixture with other known active compounds, such as fungicides, insecticides, acaricides, nematicides, bird repellants, plant nutrients and agents which improve soil structure, is also possible.

The active compounds can be used as such, in the form of their formulations or in the use forms prepared therefrom by further dilution, such as ready-to-use solutions, suspensions, emulsions, powders, pastes and granules. They are used in the customary manner, for example by watering, spraying, atomizing or scattering.

The active compounds according to the invention can be applied either before or after emergence of the plants. They can also be incorporated into the soil before sowing.

The amount of active compound used can vary within a substantial range. It depends essentially on the nature of the desired effect. In general, the application rates are between 1 g and 10 kg of active compound per hectare of soil surface, preferably between 5 g and 5 kg per ha.

The preparation and the use of the active compounds according to the invention can be seen from the examples below.

PREPARATION EXAMPLES

Example 1

At room temperature (approximately 20° C.), a mixture of 2.1 g (10 mmol) of 2-methylthio-6-n-butyroyl-aniline, 2.5 g (10 mmol) of 5,7-dimethyl-1,2,4-triazolo[1,5-a]pyrimdine-2-sulphonyl chloride and 30 ml of pyridine is stirred for approximately 15 hours. The pyridine is subsequently care-

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fully distilled off under water pump vacuum and the residue is taken up with 100 ml of methylene chloride and washed twice each with 2N hydrochloric acid and with water, dried with magnesium sulphate and filtered. The filtrate is concentrated under water pump vacuum, the amorphous residue is crystallized by treatment with ethanol and the product is isolated by filtration with suction.

This gives 2.8 g (67% of theory) of 5,7-dimethyl-N-(2-methylthio-6-n-butyroyl-phenyl)-1,2,4-triazolo[1,5-a] pyrimidine-2-sulphonamide of melting point 138° C.

Example 2

$$CH_3$$
 N
 N
 SO_2
 NH
 SO_2
 C_3H_7 - N
 SO_2
 CH_3

3.2 g (7.5 mmol) of 5,7-dimethyl-N-(2-methylthio-6-n-butyroyl-phenyl)- 1,2,4-triazolo[1,5-a]pyrimidine-2- ²⁵ sulphonamide are initially charged in 60 ml of chloroform, and the solution is admixed with 1.8 g of 3-chloroperbenzoic acid (70% strength). The reaction mixture is then stirred at room temperature (approximately 20° C.) for approximately 60 minutes and subsequently concentrated 30 under water pump vacuum. The residue is digested with 40 ml of ethanol and the resulting crystalline product is isolated by filtration with suction.

This gives 2,3 g (70% of theory) of 5,7-dimethyl-N-(2-methylsulphinyl-6-n-butyroyl-phenyl)-1,2,4-triazolo[1,5-a] 35 pyrimidine-2-sulphonamide of melting point 255° C. (with decomposition).

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$$CH_3$$
 N
 N
 SO_2
 C_3H_7 - N
 SO_2
 CH_3

2.1 g (5 mmol) of 5,7-dimethyl-N-(2-methylthio-6-n-butyroyl-phenyl)- 1,2,4-triazolo[1,5-a]pyrimidine-2-sulphonamide are initially charged in 50 ml of chloroform, and the solution is admixed with 2.8 g of 3-chloroperbenzoic acid (70% strength). The reaction mixture is then stirred at room temperature (approximately 20° C.) for approximately 2 hours and subsequently concentrated under water pump vacuum. The residue is digested with 30 ml of ethanol and the resulting crystalline product is isolated by filtration with suction.

This gives 2.0 g (89% of theory) of 5,7-dimethyl-N-(2-methylsulphonyl-6-n-butyroyl-phenyl)-1,2,4-triazolo[1,5-a] pyrimidine-2-sulphonamide of melting point 236° C. (with decomposition).

Similarly to the Preparation Examples 1 to 3, and in accordance with the general description of the preparation processes according to the invention, it is also possible to prepare, for example, the compounds of the formula (I) listed in Table 1 below.

TABLE 1

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Examples of compounds of the formula (I)

Ex. No.	Q^1 Q^2	R^1	\mathbb{R}^2	Ar	Melting point (° C.)
4	CH N	CH ₃	CH ₃	$C_{2}H_{5}$	169
5	CH N	CH ₃	CH ₃	C_2H_5	218

TABLE 1-continued

	(I)
\mathbb{R}^1	
O^{1} N A_{r}	
$\begin{array}{c ccccccccccccccccccccccccccccccccccc$	
R^2 Q^2 N SO_2 NH	
Examples of compounds of the formula (I)	

Ex. No.	Q^1	Q^2	R^1	\mathbb{R}^2	Ar	Melting point (° C.)
6	СН	N	CF ₃	CH ₃	C_2H_5	179
7	СН	N	CF ₃	CF ₃	$C_{2}H_{5}$	102
8	СН	N	CF ₃	CH_3	$C_{2}H_{5}$	206
9	СН	N	CH ₃	CH_3	C_{CH_3}	179
10	СН	N	CH_3	CH_3	C_3H_7 -i	146
11	СН	N	CH ₃	CH ₃	C_2H_5	155
12	СН	N	$\mathrm{CH_3}$	$\mathrm{CH_3}$	C_{3H_7-n}	111

TABLE 1-continued

				\mathbb{R}^1		(-)
			•		N——N Ar	
			R^2	Q^2	N SO_2 N N	
			Exan	nples of c	compounds of the formula (I)	
_	Ex. No.	Q^1 Q^2	R^1	R^2	Ar	Melting point (° C.)
	13	CH N	CH ₃	CH ₃	O C ₃ H ₇ -n	181
	14	CH N	CH_3	CH_3	O CH_3 O CH_3	201
	15	CH N	CH ₃	CH ₃	O C_2H_5 O	186
	16	CH N	CH ₃	CH ₃	$O \longrightarrow OC_2H_5$	178
	17	CH N	$\mathrm{CH_3}$	CH ₃	O CH_3	235
	18	CH N	CH ₃	CH_3	O CH_3	258
	19	CH N	CH_3	CH_3	\sim CH ₃	248

TABLE 1-continued

	(I)
R^1	
Q^1 N	
Examples of compounds of the formula (I)	
	Melting

			Exa	nples of co	ompounds of the formula (I)	
Ex. No.	Q^1	Q^2	R^1	\mathbb{R}^2	Ar	Melting point (° C.)
20	СН	N	CF ₃	CH ₃	CH_3 CH_3 CH_3	184
21	СН	N	CH_3	CH ₃	Cl CH_3	182
22	СН	N	CH_3	CH ₃	Cl CH ₃	193
23	СН	N	CH_3	CH_3	CH_3	186
24	СН	N	CH ₃	CH ₃	$_{\text{CH}_3}^{\text{Br}}$	184

TABLE 1-continued

	(1)
\mathbb{R}^1	
Q^{1} N Ar	
NH NH	
$R^2 = Q^2 = N = SO_2$	

			Exar	nples of c	ompounds of the formula (I)	
Ex. No.	Q^1	Q^2	R^1	\mathbb{R}^2	Ar	Melting point (° C.)
27	СН	N	CH ₃	CH ₃	O CH_3	179
28	СН	N	CH ₃	CH_3	O CH_2Cl	245
29	СН	N	CH ₃	CH ₃	Cl CH_3	197
30	СН	N	CH_3	$\mathrm{CH_3}$	C_2H_5	135
31	СН	N	CH ₃	CH ₃	O CH_3	159
32	СН	N	CH ₃	CH ₃	C_2H_5 C_2H_5 C_2H_5 C_1 C_2H_5 C_1 C_2 C_2 C_1 C_2 C_2 C_1 C_2 C_2 C_2 C_1 C_2 C_2 C_2 C_2 C_2 C_3 C_4	177

TABLE 1-continued

			\mathbb{R}^1		(1)				
		{D2}	$\bigcup{i=1}^{Q^1} \bigcup_{i=1}^{N} \underbrace{\sum_{i=1}^{N} \sum_{j=1}^{N} \underbrace{\sum_{i=1}^{N} \sum_{j=1}^{N} \underbrace{\sum_{i=1}^{N} \sum_{j=1}^{N} \underbrace{\sum_{j=1}^{N} \sum_{i=1}^{N} \underbrace{\sum_{j=1}^{N} \sum_{j=1}^{N} \underbrace{\sum_{j=1}^{N} \underbrace{\sum_{j=1}^{N} \sum_{j=1}^{N} \underbrace{\sum_{j=1}^{N} \underbrace{\sum_{j=1}^{N} \sum_{j=1}^{N} \underbrace{\sum_{j=1}^{N} \underbrace{\sum_{j=1}^{N} \sum_{j=1}^{N} \underbrace{\sum_{j=1}^{N} \underbrace{\sum_{j=1}^{N$	N Ar NH					
R ² Q ² N SO ₂ Examples of compounds of the formula (I)									
Ex. No.	Q^1 Q^2	R^1	\mathbb{R}^2	Ar	Melting point (° C.)				
33	CH N	CH ₃	CH ₃	CH ₃	246				
				CH ₃					
34	CH N	CH ₃	CH_3	C_2H_5	234				
35	CH N	CH ₃	CH_3	F C_2H_5	238				
36	CH N	CH ₃	$\mathrm{CH_3}$	CH_3	210				
37	CH N	CH ₃	CH_3	F	246				
38	CH N	CH_3	CH_3	C_3H_7 -n	225				
39	CH N	CH_3	CH_3	CI	254				

TABLE 1-continued

R^{2} Q^{1} N	
Examples of compounds of the formula (I)	Melting
-1 -2	

Ex. Melting No.
$$Q^1$$
 Q^2 R^1 R^2 Ar point (° C.)

40 CH N CH₃ CH₃

$$C_2H_5$$

A1 CH N CH CH CH CH 234

41 CH N
$$CH_3$$
 CH_3 CH_3 CC_2H_5

42 CH N
$$CH_3$$
 CH_3 CC_2H_5 206

43 CH N
$$CH_3$$
 CH_3 CH_3 CC_2H_5

44 CH N
$$CH_2OH$$
 CH_3 206 Cl_2H_5

45 CH N
$$CH_3$$
 CH_3 CI_{3} Cl_{3} Cl_{3}

46 CH N CH₃ CH₃
$$CH_3$$
 Cl_{3} Cl_{3} Cl_{3} Cl_{3} Cl_{43} Cl_{43} Cl_{43} Cl_{44} Cl_{5} Cl_{5} Cl_{2} Cl_{5}

TABLE 1-continued

			\mathbb{R}^1							
		\mathbb{R}^2	Q^1 Q^2	N Ar N NH SO ₂ NH						
	Examples of compounds of the formula (I)									
Ex. No.	Q^1 Q^2	R^1	\mathbb{R}^2	Ar	Melting point (° C.)					
47	CH N	CH ₃	CH ₃	Cl H_3C	218					
48	CH N	CH ₃	CH_3	F H ₃ C C ₃ H ₇ -n	205					
49	CH N	CH ₃	$\mathrm{CH_3}$	Br C_3H_7 - n	204					
50	CH N	CH_3	$\mathrm{CH_3}$	CI	233					
51	CH N	CH ₃	$\mathrm{CH_3}$	H_3C C_3H_7 -n	168					
52	CH N	CH ₃	$\mathrm{CH_3}$	Br	239					
53	CH N	CH_3	$\mathrm{CH_3}$	Br C ₂ H ₅	217					

TABLE 1-continued

			TAB	LE 1-continued	
			R ¹		(I
		R^2	Q^1 Q^2 Q^2	N Ar I NH SO ₂ NH	
		Exa	mples of co	ompounds of the formula (I)	
Ex. No.	Q^1 Q^2	R^1	\mathbb{R}^2	Ar	Melting point (° C.)
54	CH N	CH_3	CH_3	$^{\mathrm{CH}_{3}}$	224
				$C_{2}H_{5}$	
55	CH N	CH_3	CH_3	Br	226
				Br C ₃ H ₇ -n	
56	CH N	CH_3	$\mathrm{CH_3}$	C_2H_5	219
57	CH N	CH_3	CH_3	C_2H_5	231
58	CH N	CH_3	CH ₃	H_3C C_3H_7 -n	237
59	CH N	CH_3	CH_3	H_3C	256

TABLE 1-continued

				ТАВ	LE 1-continued	
			LAG	inpies of e	compounds of the formula (I)	
Ex. No.	Q^1 (Q^2	R^1	\mathbb{R}^2	Ar	Melting point (° C.)
60	CH]	N	CH_3	CH ₃		154

61 CH N
$$CF_3$$
 CH_3 H_3C OCH_2CF_3

62 CH N
$$CH_3$$
 CH_3

63 CH N
$$CH_3$$
 CH_3 H_3C

66 CH N CH₃ CH₃
$$_{\rm H_3C}$$
 $_{\rm C_2H_5}$ $_{\rm C_2H_5}$ $_{\rm C_2H_5}$ 245

TABLE 1-continued

		R^2	Q^1	N Ar N NH	
			nples of o	compounds of the formula (I)	
Ex. No.	Q^1 Q^2	R^1	\mathbb{R}^2	Ar	Melting point (° C.)
67	CH N	CF ₃	CF ₃		88
				H_3C C_2H_5	
68	CH N	CH_3	CH ₃	H ₃ C	237
				H_3C C_2H_5	
69	CH N	CH_3	CH_3		111
				$_{\mathrm{CH}_{3}}^{\mathrm{O}}$	
70	CH N	CH_3	CH_3		214
				\sim	
71	CH N	CH_3	CH_3	Cl	112
				N _O N	
72	CH N	CH ₃	$\mathrm{CH_3}$	Cl N	59
				NC S	
73	CH N	CH_3	CH_3	H_3C	222
				S O	
74	CH N	CH_3	CH_3	H_3C	246
				// \	

TABLE 1-continued

			R ²	Q ²	N	SO_2		
			Exam	ples of c	compounds	of the formula ((I)	
Ex. No.	Q^1	Q^2	R^1	\mathbb{R}^2		Ar		Melting point (° C.)
75	СН	N	CF ₃	CH_3	H ₃ C	s))CH ₃	187
76	СН	N	CH_3	CH ₃	H ₃ C	S	C_2H_5	172
77	СН	N	CH_3	$\mathrm{CH_3}$	H ₃ C	s	C ₃ H ₇ -i	101
78	СН	N	CH_3	CH_3	H ₃ C	s	C ₃ H ₇ -n	90
79	СН	N	CH ₂ OCH ₃	CH_3		S	OC ₂ H ₅	
80	CH	N	CH ₂ OCH ₃	CH ₃		s	CH ₃	89

TABLE 1-continued

Q^1 N N Ar	(I)
$\mathbb{R}^2 \longrightarrow \mathbb{Q}^2 \longrightarrow \mathbb{N} \longrightarrow \mathbb{N}$	
Examples of compounds of the formula (I)	
	Melting

		R^2	Q^2	N SO ₂ NII	
		Exa	mples of	compounds of the formula (I)	
Ex. No.	Q^1 Q^2	R^1	R^2	Ar	Melting point (° C.)
81	CH N	CH ₃	CH ₃	S	
82	CH N	CH ₃	CH_3	CH_3 S N O	
83	CH N	CF ₃	CH_3	H_3C C_2H_5 C_2H_5	179
84	CH N	CH ₃	CH ₃	H_3C CH_3 CH_3	192
85	CH N	CH ₃	CH ₃		186

87 CH N
$$CH_3$$
 CH_3 CH_3 CH_3 CH_3 CH_3

TABLE 1-continued

			\mathbb{R}^1		(1)
		•	Q^1	N—N Ar	
		R^2	Q^2	$N SO_2$	
Ex.				compounds of the formula (I)	Melting
No.	Q^1 Q^2	R ¹	R ²	Ar	point (° C.)
88	CH N	CH ₃	CH ₃	CH_3 C_2H_5	161
89	CH N	CH ₃	CH ₃	Br CH_3 S	206
90	CH N	CH ₃	CH_3	CH_3 CH_3 CH_3	226
91	CH N	CH ₃	CH ₃	C_2H_5	133
92	CH N	CH_3	CH_3	C_2H_5 SO	178
93	CH N	CH ₃	CH_3	C_2H_5 SO_2	210
94	CH N	CH ₃	CH ₃	OH	168
95	CH N	CH_3	$\mathrm{CH_3}$		185

TABLE 1-continued

	(I)
\mathbb{R}^1	
Q^1 N N Ar	
NH NH	
R^2 Q^2 N SO_2	
Examples of compounds of the formula (I)	

	Examples of compounds of the formula (I)							
Ex. No.	Q^1	Q^2	R^1	\mathbb{R}^2	Ar	Melting point (° C.)		
96	СН	N	CH_3	CH ₃	COC(CH ₃) ₃	172		
97	СН	N	CH_3	CH_3	$\bigcap_{\mathrm{SO}_2\mathrm{CH}_3}$	130		
98	СН	N	CH ₃	CH ₃	C_2H_5 N N C_6H_5	180		
99	СН	N	CH ₃	CH ₃	CH_3 N N C_2H_5	163		
100	СН	N	CH ₃	CH ₃	N C_2H_5 C_{H_3}	208		
101	СН	N	CH_3	CH_3	CH_3 N	190		

TABLE 1-continued

		\mathbb{R}^2	\mathbb{Q}^1	N Ar N NH	
Ex.		Exa		compounds of the formula (I)	Melting
No.	Q^1 Q^2	R ¹	\mathbb{R}^2	Ar	point (° C.)
102	CH N	CH ₃	CH_3	C ₃ H ₇ -i	200

103 CH N
$$CH_3$$
 CH_3 CH_3 CH_3 CH_3 CH_3

104 CH N CH₃ CH₃
$$\frac{1}{1}$$
 CH₃ $\frac{1}{1}$ CH₃ $\frac{1}{1}$

105 CH N
$$CH_3$$
 CH_3 CH_3 OCH_3 OCH_3 OCH_3

107 CH N CH₃ CH₃
$$\frac{195}{195}$$

TABLE 1-continued

			\mathbb{Q}^1 \mathbb{Q}^1 \mathbb{Q}^1	N Ar N NH					
R^2 Q^2 N SO_2 Examples of compounds of the formula (I)									
Ex. No.	Q^1 Q^2	R ¹	\mathbb{R}^2	Ar	Melting point (° C.)				
108	CH N	CH ₃	CH ₃	SO_2 H_3C CH_3	105				
109	CH N	CH ₃	CH_3	SO_2 N $C(CH_3)_3$	103				
110	CH N	CH ₃	CH_3	SO_2 $N(C_2H_5)_2$					
111	CH N	CH ₃	CH_3	F					
112	CH N	CH ₃	CH_3	$\sum_{\substack{SO_2\\N(C_2H_5)_2}}$	188				
113	CH N	CH ₃	$\mathrm{CH_3}$	O CH ₃	243				
114	CH N	CH ₃	$\mathrm{CH_3}$		215				

TABLE 1-continued

			\mathbb{R}^1		(I)
			Q^1 N	N Ar	
		R^2	Q^2	N SO_2 N N	
		Exa	nples of co	mpounds of the formula (I)	
Ex. No.	Q^1 Q^2	R^1	\mathbb{R}^2	Ar	Melting point (° C.)
115	CH N	CF ₃	CH_3		158
				O CHF ₂	
116	CH N	CH ₃	CH_3	F_3C Br	211
117	CH N	CH ₃	CH ₃	CF ₃ Br	192
118	CH N	CH ₃	CH ₃	H_3C O CHF_2	232
119	CH N	CH ₃	CH ₃	$_{\mathrm{CHF}_{2}}^{\mathrm{H_{3}C}}$	207
120	CH N	CH ₃	CH ₃	CH_3 O CHF_2	215
121	CH N	CF ₃	CH ₃	H_3C	204

TABLE 1-continued

	(I)
$egin{array}{c} \mathbf{R^1} \\ egin{array}{c} \end{array}$	
Q ¹ N Ar NH	
$R^2 = Q^2 = N = SO_2$	
Examples of compounds of the formula (I)	

		R^2	Q^2	N SO_2 NH	
		Exa	mples of co	mpounds of the formula (I)	
Ex. No.	Q^1 Q^2	R^1	\mathbb{R}^2	Ar	Melting point (° C.)
122	CH N	CF ₃	CH ₃	H ₃ C O CHF ₂	163
123	CH N	CF ₃	CH ₃	CH ₃ O CHF ₂	163
124	CH N	$\mathrm{CH_3}$	CH_3	Cl N CH ₂	204
125	CH N	CF ₃	CH_3	Cl CH_2	155
126	CH N	CH_3	CH_3	Вr	250

$$Br$$
 C_2H_5

TABLE 1-continued

$$\begin{array}{c}
 & R^1 \\
 & Q^1 \\
 & N \\
 & N$$

Examples of compounds of the formula (I)

Ex. No.	Q^1 Q^2	R^1	\mathbb{R}^2	Ar	Melting point (° C.)
128	CH N	CH ₃	CH ₃	H_3C C_2H_5	232
129	CN N	CH ₃	CH_3	H_3C $C(CH_3)_3$	186

Use Examples

Example A

Pre-emergence test

Solvent: 5 parts by weight of acetone

Emulsifier: 1 part by weight of alkylaryl polyglycol ether
To produce a suitable preparation of active compound, 1
part by weight of active compound is mixed with the stated
amount of solvent, the stated amount of emulsifier is added

and the concentrate is diluted with water to the desired

concentration.

Seeds of the test plants are sown in normal soil. After approximately 24 hours, the preparation of active compound is sprayed onto the soil—in such a way as to apply the particular amounts of active compound desired per unit area.

The concentration of the spray liquor is chosen so that the particular desired amounts of active compound are applied in 1000 1 of water/ha.

After three weeks, the degree of damage to the plants is rated in % damage by comparison with the development of the untreated control.

The figures denote:

0%=no effect (like untreated control)

100%=total destruction

In this test, for example, the compounds of Preparation Example 4, 5, 6, 13, 14, 17, 18, 19, 22, 23, 25, 34, 35, 38, 39, 43, 60, 63, 73, 74, 75, 76, 77, 82, 84, 85, 104, 115, 118 and 121 show strong activity against weeds (cf. the tables below for Example A), and some of them are tolerated well by crop plants, such as, for example, wheat, soya and cotton.

Tables for Example A Pre-emergence test/greenhouse Application Echino-1) Compound of Alorate (g ai./ha) Matricaria Solanum Preparation Ex. No. Wheat Lolium Galium Bromus chloa pecurus 250 100 100 80 100 100 100 13 95 95 100 14 125 100 90 100 70 Application Echino-2) Compound of Alorate g ai./ha) Wheat Digitaria chloa Galium Matricaria Solanum Preparation Ex. No. Cyperus pecurus 43 125 10 100 100 100 100 100 100 100

-continued

	Tables for Example A Pre-emergence test/greenhouse									
3) Compound of Preparation Ex. No.	Application rate g ai./ha)	Wheat	Alo- pecurus	Cyperus	Digitaria	Galium	Galinsoga	Matricaria	Solanum	
60	500	20	95	100	80	95	95	95	95	
4) Compound of Preparation Ex. No.	Application rate g ai./ha)	Soya	Bromus	Echino- chloa	Lolium	Poa	Galium	Galinsoga	Matricaria	Solanum
75	1000	10	80	90	95	95	95	100	95	95
5) Compound of Preparation Ex. No.	Application rate g ai./ha)	Cotton	Alo- pecurus	Digitaria	Echino- chloa	Poa	Galinsoga	Matricaria	Solanum	
104	250	0	80	90	80	80	90	95	90	
6) Compound of Preparation Ex. No.	Application rate g ai./ha)	Wheat	Soya	Digitaria	Poa	Galinsoga	Matricaria	Solanum		
115 121	100 125	0 0	0 0	95 —	 70	100 95	95 95	90 90		
7) Compound of Preparation Ex. No.	Application rate g ai./ha)	Alo- pecurus	Bromus	Cyperus	Echino- chloa	Lolium	Galium	Matricaria	Solanum	
76	500	100	100	100	100	100	100	100	100	
8) Compound of Preparation Ex. No.	Application rate g ai./ha)	Alo- pecurus	Cyperus	Digitaria	Echino- chloa	Galium	Galinsoga	Matricaria	Solanum	
73 74	250 250	 80	95 —	95 95	95 90	95 90	95 100	95 95	95 95	
9) Compound of Preparation Ex. No.	Application rate g ai./ha)	Alo- pecurus	Cyperus	Echino- chloa	Galium	Galinsoga	Matricaria	Solanum		
5 4 85 84 19 6 34 35 22 23 25 118	125 125 125 250 250 250 250 250 250 250	95 90 90 80 80 95 99 95 80 — 80 80	90 95 90 95 100 90 95 — 80 95	95 90 90 70 95 90 95 95 95 100 95	95 90 90 90 95 100 95 100 100 100	95 95 95 95 95 100 100 100 95	95 95 95 95 95 100 95 95 100 95	90 90 95 95 100 95 100 95		
10) Compound of Preparation Ex. No.	Application rate g ai./ha)	Alo- pecurus	Digitaria	Echino- chloa	Galium	Matricaria	Solanum			
82 63	500 125	95 100	95 95	100 100	100 100	100 100	100 100			
11) Compound of Preparation Ex. No.	Application rate g ai./ha)	Alo- pecurus	Digitaria	Echino- chloa	Poa	Galium	Galinsoga	Matricaria	Solanum	
17 18	250 250	9 5 90	9 5 90	<u>-</u> 95	95 90	95 95	9 5 100	95 100	95 95	
12) Compound of Preparation Ex. No.	Application rate g ai./ha)	Alo- pecurus	Galium	Matricaria	Solanum					
38 39	500 500	80 80	100 100	100 100	95 100					

58

-continued

Tables for Example A Pre-emergence test/greenhouse									
13) Compound of Preparation Ex. No.	Application rate g ai./ha)	Cyperus	Echino- chloa	Lolium	Galium	Matricaria	Solanum		
77	500	95	90	80	95	100	95		

Example B

Post-emergence test

Solvent: 5 parts by weight of acetone

Emulsifier: 1 part by weight of alkylaryl polyglycol ether

In this test, for example, the compounds of Preparation Example 6, 17, 18, 19, 22, 60, 63, 73, 76, 77, 82, 84, 118 and 121 show strong activity against weeds (cf. the tables below for Example B), and without exception they are tolerated well by crop plants, such as, for example, wheat.

	Tables for Example B Post-emergence test/greenhouse								
1) Compound of Preparation Ex. No.	Application rate (g ai./ha)	Wheat	Abutilon	Datura	Ipomoea	Matricaria	Solanum	Xanthium	
84	125	10		90	90	80	90	70	
19	1000		95	90	95	95	95	100	
6	125	10	95	90	80	90	95	70	
22	500	5	100	95	95	100	90	100	
118	250	10	95	95	95	90	100	100	
73	125	20	95	95	90	100	95	100	
60	125	5	90	90	80		90	70	
121	500	5		60	100	70	95	95	
76	500	20	95	70	90	95	95	100	
77	500		95		80	100	95	100	
17	30	10	95	90	90	95	90	95	
2) Compound of Preparation Ex. No.	Application rate (g ai./ha)	Wheat	Lolium	Sorghum	Datura	Ipomoea	Matricaria	Solanum	Xanthium
82 18	500 125	<u> </u>	95 80	95 80	100 90	100 90	100 100	100 90	100 95
3) Compound of Preparation Ex. No.	Application rate (g ai./ha)	Alopecurus	Lolium	Sorghum	Datura	Ipomoea	Matricaria	Solanum	Xanthium
63	125	90	80	95	95	95	95	100	95

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent, the stated amount of emulsifier is added 50 and the concentrate is diluted with water to the desired concentration.

Test plants which have a height of 5–15 cm are sprayed with the preparation of the active compound in such a way 55 as to apply the particular amounts of active compound desired per unit area. The concentration of the spray liquor is chosen so that the particular desired amounts of active compound are applied in 1000 1 of water/ha. After three weeks, the degree of damage to the plants is rated in % 60 damage by comparison with the development of the untreated control.

The figures denote:

0%=no effect (like untreated control)

100%=total destruction

Example C

Sphaerotheca Test (cucumber)/protective

Solvent: 4.7 parts by weight of acetone

Emulsifier: 0.3 parts by weight of alkylaryl polyglycol ether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

To test for protective activity, young plants are sprayed with the preparation of active compound until dew-moist. After the spray coating has dried on, the plants are dusted with conidia of the fungus Sphaerotheca fuliginea.

The plants are subsequently placed in a greenhouse at 23 to 24° C. and at a relative atmospheric humidity of approximately 75%.

Evaluation is carried out 10 days after the inoculation.

In this test, for example, the compounds of Preparation Example 4, 5, 19 and 85 show strong activity (cf. Table C below).

TABLE C

Spaerotheca test (cucumber)/protective

Efficacy in % of the untreated control at an active compound concentration of 100 ppm

100

95

100

Active compound

$$CH_3$$
 CH_3
 N
 N
 SO_2
 H_3C

$$(4)$$
 CH_3
 N
 N
 SO_2
 CH_3
 CH_3

$$(85)$$
 CH_3
 NH
 SO_2
 H_3C
 CH_3
 CH_3
 CH_3
 CH_3

Example D

Podosphaera Test (apple)/protective

Solvent: 4.7 parts by weight of acetone

Emulsifier: 0.3 parts by weight of alkylaryl polyglycol 60 ether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

To test for protective activity, young plants are sprayed with the preparation of active compound until dew-moist.

After the spray coating has dried on, the plants are inoculated by dusting with conidia of the causative organism of apple mildew Podosphaera leucotricha.

The plants are then placed in a greenhouse at 23° C. and a relative atmospheric humidity of approximately 70%.

Evaluation is carried out 10 days after the inoculation.

In this test, for example, the compounds of Preparation Example 4, 5, 19 and 85 show strong activity (cf. Table D below).

TABLE D

Podosphaera test (apple)/protective

Efficacy in % of the untreated control at an active compound concentration of 100 ppm

99

85

100

55

Active compound

$$CH_3$$
 N
 N
 SO_2
 CH_3
 CH_3
 CH_3

$$(4)$$
 CH_3
 N
 N
 SO_2
 CH_3
 CH_3
 CH_3

(85)
$$CH_{3}$$

$$N$$

$$N$$

$$SO_{2}$$

$$H_{3}C$$

$$CH_{3}$$

$$CH_{3}$$

$$CH_{3}$$

$$CH_{3}$$

$$CH_{3}$$

Example E

Plutella Test

Solvent: 7 parts by weight of dimethylfornamide

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent and the stated amount of emulsifier, and the concentrate is diluted with water to the desired concentration.

Cabbage leaves (Brassica oleracea) are treated by being dipped into the preparation of active compound of the desired concentration and are populated with caterpillars of the diamond-back moth (Plutella maculipennis) while the leaves are still moist.

Emulsifier: 1 part by weight of alkylaryl polyglycol ether 60 After the desired period of time, the kill in % is determined. 100% means that all caterpillars have been killed; 0% means that none of the caterpillars have been killed.

> In this test, for example, the compounds of Preparation Examples 21, 23 and 36 show strong activity (cf. Table E below).

TABLE E

(plant	damaging	insects)
	Plutella te	est

(plant damaging insects) Plutella test		
Active compound	Active compound concentration in %	Kill after 7d
CH_3 N N SO_2 NH SO_2	0.1	100
(36) CH_3 N N SO_2 O CH_3 CH_3	0.1	100
CH_3	0.1	100

What is claimed is:

1. A substituted triazoloazine sulphonamide of the formula (I)

(23)

wherein

Q¹ represents a CH grouping,

Q² represents nitrogen,

 R^1 represents halogen or represents C_1 – C_4 -alkyl, C_1 – C_4 alkoxy, C₁-C₄-alkylthio, C₁-C₄-alkylamino or di- 65 (C₁-C₄- alkyl)amino, each of which is unsubstituted or substituted by hydroxyl, halogen or C₁-C₄-alkoxy,

R² represents hydrogen, halogen or represents C₁-C₄alkyl, C_1-C_4 -alkoxy, C_1-C_4 -alkylthio, C_1-C_4 alkylamino or di-(C₁-C₄-alkyl)amino, each of which is unsubstituted or substituted by halogen, and

Ar represents

50

60

$$A^{13}$$

$$A^{14}$$

$$A^{13}$$

$$A^{14}$$

$$A^{13}$$

wherein

A¹³ represents cyano, carbamoyl, 5,6-dihydro-1,4,2dioxazin-3-yl, halogen, C₁-C₄-alkyl, C₁-C₄-alkylcarbonyl or C_1 – C_4 -alkoxy-carbonyl,

A¹⁴ represents hydrogen, represents cyano, cabamoyl, halogen, C₁-C4-alkyl, C₁-C₄-alkylcarbonyl or C₁-C₄alkoxy-carbonyl,

 A^{15} represents hydrogen, represents cyano, carbamoyl, halogen, C_1 –C4-alkyl, C_1 – C_4 -aklylcarbonyl or C_1 – C_4 -alkoxy-carbonyl, or together with A^{14} represents a fused benzo grouping,

and a salt of the compound of the formula (I), with the following proviso:

- i) if A^{13} represents C_1-C_4 -alkoxy-carbonyl then A^{14} and A^{15} cannot both be hydrogen.
- 2. A compound the formula (I) according to claim 1, wherein
 - Q¹ represents a CH grouping,
 - Q² represents nitrogen,

R¹ represents fluorine, chlorine, bromine, or represents methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylamino, ethylamino, n- or i-propylamino, dimethyl-amino or diethylamino, each of which is unsubstituted or substituted by fluorine, chlorine, methoxy or ethoxy,

R² represents hydrogen, fluorine, chlorine, bromine or represents methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylamino, ethylamine, n- or i-propylamino, dimethylamino or diethylamino, each of which is unsubstituted or substituted by fluorine, chlorine, methoxy, or ethoxy,

Ar represents

$$A^{15}$$

$$A^{14}$$

$$A^{13}$$

wherein

A¹³ represents cyano, carbamoyl, 5,6-dihydro-1,4,2-dioxazin-3-yl, fluorine, chlorine, bromine, methyl, ethyl, n- or i-propyl, acetyl, priopionyl, n- or i-butyroyl, 40 methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl,

A¹⁴ represents hydrogen, represents cyano, carbamoyl, fluorine, chlorine, bromine, methyl, ethyl, n- or i-propyl, acetyl, propionyl, n- or i-butyroyl, 45 methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl,

A¹⁵ represents hydrogen, represents cyano, carbomoyl, fluorine, chlorine, bromine, methyl, ethyl, n- or i-propyl, acetyl, propionyl, n- or i-butyroyl,

66

methoxycarbonyl, ethoxycarbonyl, n- or i propoxycarbonyl, or together with A^{14} represents a fused benzo grouping,

and a salt of the compound of the formula (I) selected from the group consisting of lithium, sodium, potassium, magnesium, calcium, ammonium, C_1 – C_4 –alkyl-ammonium, di- $(C_1$ – C_4 -alkyl) ammonium, tri- $(C_1$ – C_4 -alkyl)-ammonium, tetra- $(C_1$ – C_4 -alkyl)-ammonium, tri- $(C_1$ – C_4 -alkyl)-sulphonium, C_5 - or C_6 -cycloalkyl-ammonium and di- $(C_1$ – C_2 -alkyl)-benzyl-ammonium salts of compounds of the formula (I).

3. A substituted triazoloazinesulphonamide of the formula

wherein

30

35

Q¹ represents CH

 Q^2 represents N

R¹ represents CH₃

R² represents CH₃, and

Ar represents the following formula

$$CH_3$$
 N
 O

4. A plant treatment composition comprising at least one compound of the formula (I) or one of the salts thereof according to claim 1 and one or more members selected from the group consisting of liquid solvents, solid carriers, emulsifiers, dispersing agents and foam-forming agents.

5. A method for controlling weeds, undesirable microorganisms, arthropods and/or nematodes comprising the step of allowing compounds of the formula (1) or salts thereof according to claim 1 to act on the weeds, the undesirable microorganisms, the arthropods and/or nematodes or their habitat.

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